(19) World Intellectual Property Organization International Bureau



I IDDIA BINANDI NI DIBNE NON BONA BONA BINI I NI NI BONA BINI BOND NIDI BINDI BINDI BINI DI BINI IDDI NI IDDI

(43) International Publication Date 29 September 2005 (29.09.2005)

PCT

(10) International Publication Number WO 2005/090358 A3

(51) International Patent Classification⁷: C07D 491/14, A61K 31/437 // (C07D 491/14, 311:00, 235:00, 221:00)

(21) International Application Number:

PCT/EP2005/051211

(22) International Filing Date: 16 March 2005 (16.03.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 04101092.7

04106577.2

17 March 2004 (17.03.2004) EP 14 December 2004 (14.12.2004) EP

(71) Applicant (for all designated States except US): ALTANA PHARMA AG [DE/DE]; Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(72) Inventors (for all designated States except CA, PH, US): CHIESA, M. Vittoria; Alpenstr. 5, 78464 Konstanz (DE). ZIMMERMANN, Peter Jan; Zum Lerchental 43/1, 78315 Radolfzell (DE). BREHM, Christof; Richentalstr. 8, 78462 Konstanz (DE). SIMON, Wolfgang-Alexander; Schubertstrasse 17, 78464 Konstanz (DE). KROMER, Wolfgang; Hinterhauserstr. 5, 78464 Konstanz (DE). POSTIUS, Stefan; Austrasse 4b, 78467 Konstanz (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): PALMER, Andreas [DE/DE]; Pirminweg 1a, 78467 Konstanz (DE). BUHR, Wilm [DE/DE]; Zum Kirchenwald 7, 78465 Konstanz (DE).

(74) Agents: WOLF, Ulrich et al.; Altana Pharma AG, Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

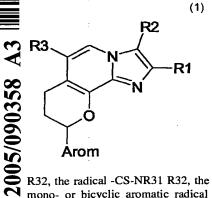
Declarations under Rule 4.17:

as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— of inventorship (Rule 4.17(iv)) for US only

[Continued on next page]

(54) Title: 7H-8,9-DIHYDRO-PYRANO (2,3-C) IMIDAZO (1,2A) PYRIDINE DERIVATIVES AND THEIR USE AS GASTRIC ACID SECRETION INHIBITORS



(57) Abstract: The invention provides compounds of the formula (1), in which R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-l-4C-alkyl or hydroxy-1-4Calkyl, R2 is hydrogen, 1-4C-alkyl, 3-7C-cy-3-7C-cycloalkyl.-1-4C-alkyl, 1-4C-alkoxycarbonyl, doalkyl, hydroxy-1-4C-alkyl, hydroxy-3-4-C-alkenyl, hydroxy-3-4C-alkinyl, halogen, 2-4C-alkenyl, alkynyl, fluoro-1-4C-alkyl, cyanomethyl, hydroxy, 1-4C-alkoxy, amino, mono-1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, di-1-4Calkylamino, 1-4C-alkoxy-1-4Calkoxycarbonylamino, carboxyl, monodi-1-4C-alkyor lamino-1-4C-alkyl, 1-4C-alkylcarbonyl, 24C-alkenylcarbonyl, 2-4C-alkinylcarbonyl or the radical -CO-NR21R22, R3 is 1-4C-alkylcarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-14C-alkyl, 1-4C-alkoxycarbonyl, fluoro-1-4C-alkoxy-1-4C-alkyl, cyano, the radical -CO-NR31R32, the radical -S02-NR31

R32, the radical -CS-NR31 R32, the radical -C=N(OH)-NR1 R32 or the group Het. Arom is a R4-, R5-, R6- and R7-substituted mono- or bicyclic aromatic radical selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furanyl (furyl), benzofuranyl (benzofuryl), thiophenyl (thienyl), benzothiophenyl (benzothienyl), thiazolyl, isoxazolyl, pyridinyl, pyrimidinyi, quinolinyl and isoquinolinyl. The compounds inhibit the secretion of gastric acid.

WO 2005/090358 A3



Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 26 January 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.